

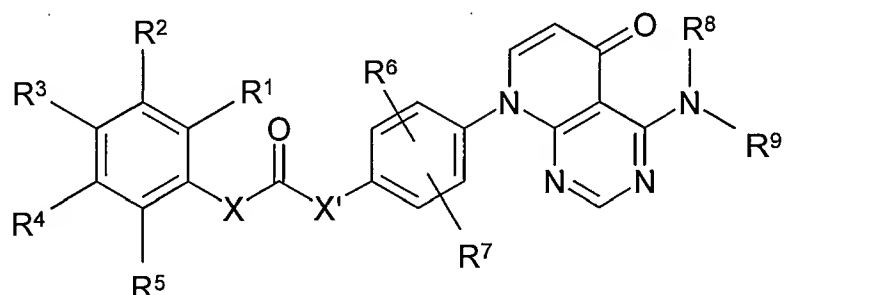
02/03/2009

U.S. Patent Application No.: 10/579,222

Attorney Docket No.: 978725.9/MPG-P0008

**Listing of Claims:**

1. (Currently amended) A compound or compounds of formula I



wherein

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  each, independently of one another, are selected from the group consisting of H, A, OH, OA, alkenyl, alkynyl,  $\text{NO}_2$ ,  $\text{NH}_2$ , NHA,  $\text{NA}_2$ , Hal, CN, COOH, COOA, -OHet, -O-alkylene-Het, -O-alkylene- $\text{NR}^8\text{R}^9$ ,  $\text{CONR}^8\text{R}^9$ ,  $\text{CH}(\text{OH})\text{-A}$ , and  $\text{-C(=O)-A}$ , or

two adjacent radicals selected from  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  together are selected from the group consisting of  $\text{-O-CH}_2\text{-CH}_2\text{-}$ ,  $\text{-O-CH}_2\text{-O-}$ ,  $\text{-O-CH}_2\text{-CH}_2\text{-O-}$ ,  $\text{-O-CA}_2\text{-O-}$  and  $\text{-O-CF}_2\text{-O-}$

$R^6$ ,  $R^7$  each, independently of one another, are selected from the group consisting of H, A, Hal, OH, OA and CN,

$R^8$ ,  $R^9$  each, independently of one another, are H or alkyl having 1-6 C atoms, wherein one or two  $\text{CH}_2$  groups optionally are replaced by O or N atoms,

Het is a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O or S atoms, which heterocycle optionally is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOA, CN or carbonyl oxygen ( $=\text{O}$ ),

A is alkyl having 1 to 10 C atoms, wherein, in addition, 1-7 H atoms optionally are replaced by F or chlorine,

~~X, X'~~ each, ~~independently of one another~~ is NH or ~~is absent a bond~~,

X' is NH

Hal is selected from the group consisting of F, Cl, Br and I, or

pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

2. (Currently amended) The compound or compounds according to Claim 1, wherein

X is NH or ~~is absent a bond~~,

X' is NH,

or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

3. (Currently amended) The compound or compounds according to Claim 1 wherein

$R^1, R^2, R^3, R^4, R^5$  each, independently of one another, are selected from the group consisting of H, A, OH, OA, NO<sub>2</sub>, NH<sub>2</sub>, NHA, NA<sub>2</sub>, Hal, CN, -OHet, -O-alkylene-Het, -O-alkylene-NR<sup>8</sup>R<sup>9</sup>, CH(OH)-A, and -C(=O)-A, or

two adjacent radicals selected from  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  together are selected from the group consisting of  $-O-CH_2-CH_2-$ ,  $-O-CH_2-O-$ ,  $-O-CH_2-CH_2-O-$ ,  $-O-CA_2-O-$  and  $-O-CF_2-O-$ , or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

4. (Previously presented) The compound or compounds according to Claim 1 wherein

Het is a monocyclic saturated heterocycle having 1 to 3 N, O or S atoms, which heterocycle is unsubstituted or optionally is monosubstituted by COOA or A, or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

5. (Previously presented) The compound or compounds according to Claim 1 wherein

$R^6$ ,  $R^7$  are H, or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

6. (Previously presented) The compound or compounds according to Claim 1 wherein

$R^8$ ,  $R^9$  are H, or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

7. (Currently amended) The compound or compounds according to Claim 1 wherein

X is NH or ~~is absent a bond~~,a bond,

X' is NH,

$R^1, R^2, R^3, R^4, R^5$  each, independently of one another, are selected from the group consisting of H, A, OH, OA,  $\text{NO}_2$ ,  $\text{NH}_2$ , NHA,  $\text{NA}_2$ , Hal, CN, -OHet, -O-alkylene-Het, -O-alkylene- $\text{NR}^8\text{R}^9$ ,  $\text{CH}(\text{OH})\text{-A}$ , and  $\text{-C(=O)-A}$ , or

two adjacent radicals selected from  $R^1, R^2, R^3, R^4, R^5$  together are selected from the group consisting of  $\text{-O-CH}_2\text{-CH}_2\text{-}$ ,  $\text{-O-CH}_2\text{-O-}$ ,  $\text{-O-CH}_2\text{-CH}_2\text{-O-}$ ,  $\text{-O-CA}_2\text{-O-}$  and  $\text{-O-CF}_2\text{-O-}$ ,

Het is a monocyclic saturated heterocycle having 1 to 3 N, O or S atoms, which heterocycle is unsubstituted or optionally is monosubstituted by COOA or A,

$R^6, R^7$  is H,

$R^8, R^9$  each, independently of one another, are H or alkyl having 1-6 C atoms, wherein one or two  $\text{CH}_2$  groups optionally are replaced by O or N atoms,

or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

8. (Currently amended) The compound or compounds according to Claim 1 wherein

X is NH or ~~is absent a bond~~,a bond,

X' is NH,

$R^1, R^2, R^3, R^4, R^5$  each, independently of one another, are selected from the group consisting of H, A, OH, OA, NO<sub>2</sub>, NH<sub>2</sub>, NHA, NA<sub>2</sub>, Hal, CN, -OHet, -O-alkylene-Het, -O-alkylene-NR<sup>8</sup>R<sup>9</sup>, CH(OH)-A, and -C(=O)-A, or

two adjacent radicals selected from  $R^1, R^2, R^3, R^4, R^5$  together are selected from the group consisting of -O-CH<sub>2</sub>-CH<sub>2</sub>-, -O-CH<sub>2</sub>-O-, -O-CH<sub>2</sub>-CH<sub>2</sub>-O-, -O-CA<sub>2</sub>-O- and -O-CF<sub>2</sub>-O-,

$R^6, R^7$  are H,

$R^8, R^9$  each, independently of one another, are H or alkyl having 1-6 C atoms, wherein one or two CH<sub>2</sub> groups optionally are replaced by O or N atoms,

Het is piperidinyl, pyrrolidinyl, morpholinyl or piperazinyl, each of which is unsubstituted or monosubstituted by COOA or A,

or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios.

9. (Previously presented) The compound or compounds according to Claim 1, selected from the group consisting of

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-trifluoromethyl phenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-chloro-5-trifluoromethyl phenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,4-difluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,6-difluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-fluoro-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-fluoro-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-methyl-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,3,4,5,6-pentafluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,4-dibromo-6-fluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluoro-6-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-methylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,3,4-trifluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-bromo-2,6-difluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluoro-3-trifluoromethyl

phenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-(1-tert-butyloxycarbonyl piperidin-4-yl)phenyl]urea,

N-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-2,4-dichlorobenzamide,

N-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]  
-4-chloro-5-trifluoromethylbenzamide,

N-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]  
-2-fluoro-5-trifluoromethylbenzamide,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-chloro-5-trifluoromethyl-2-(piperidin-4-yloxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[(2-fluoro-5-(2-dimethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[5-fluoro-2-(piperidin-4-yloxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-chloro-5-trifluoromethyl-2-(piperidin-4-yloxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-(piperidin-4-yloxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-fluoro-5-(2-diethylamino

ethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-fluoro-5-[2-(piperidin-1-yl)ethoxy]phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-fluoro-2-(2-dimethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-fluoro-2-(2-diethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-chloro-4-[2-(morpholin-4-yl)ethoxy]phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-fluoro-2-[2-(morpholin-4-yl)ethoxy]phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-chloro-4-(2-dimethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-chloro-4-(2-diethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-chloro-2-(2-dimethylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-chloro-5-(2-diethylaminoethoxy)phenyl]urea,



1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-trifluoromethyl-6-(3-(morpholin-4-yl)propoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-{2-[(2-methoxyethyl)methylamino]ethoxy}-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-{2-[(2-methoxyethyl)methylamino]ethoxy}-3-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-trifluoromethyl-4-(2-methylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[5-trifluoromethyl-2-(2-methylaminoethoxy)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-trifluoromethyl-4-[3-(morpholin-4-yl)propoxy]phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-(1-methylpiperidin-4-yl)oxy)-3-trifluoromethylphenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-(1-methylpiperidin-4-yl methoxy)-3-trifluoromethylphenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[4-(piperidin-4-ylmethoxy)-3-trifluoromethylphenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-(piperidin-4-yl-methoxy)-5-trifluoromethylphenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[2-(1-methylpiperidin-4-yl methoxy)-5-trifluoromethylphenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluorophenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-trifluoromethylphenyl) urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-bromo-5-trifluoromethyl phenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-benzo-1,3-dioxol-5-ylurea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,2-dimethylbenzo-1,3-dioxol-5-yl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-trifluoromethoxyphenyl) urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-trifluoromethylphenyl) urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-methoxy-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-methylphenyl) urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-tert-butylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-isopropylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-acetylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(4-methoxy-5-trifluoromethylphenyl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-[3-(2,2,2-trifluoro-1-hydroxyethyl)phenyl]urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-ethylphenyl)urea,

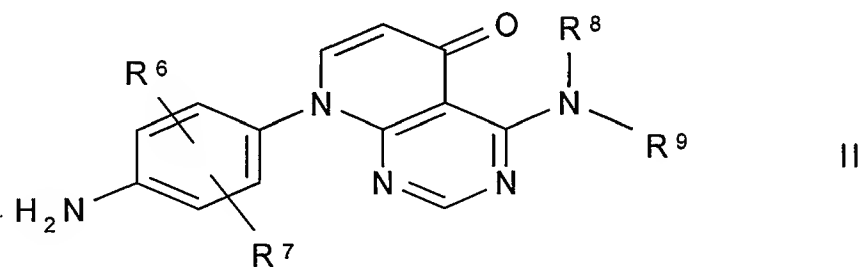
1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(2,2-difluorobenzo-1,3-dioxol-5-yl)urea,

1-[4-(4-amino-5-oxo-5*H*-pyrido[2,3-*d*]pyrimidin-8-yl)phenyl]-3-(3-methoxy-5-trifluoromethylphenyl)urea,

pharmaceutically acceptable salts, tautomers, stereoisomers thereof, and mixtures thereof in all ratios.

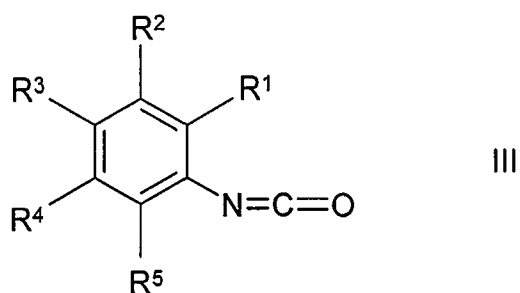
10. (Previously presented) A process for the preparation of the compound or compounds of Claim 1, or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, comprising reacting

a compound of the formula II



wherein  $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  have the meanings indicated in Claim 1,

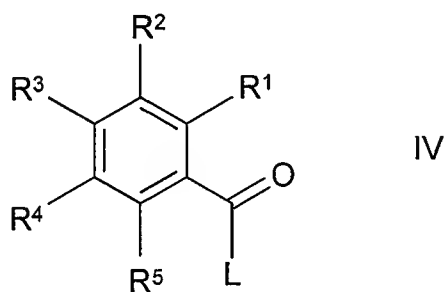
with a compound of the formula III



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  have the meanings indicated in Claim 1,

or

reacting a compound of the formula II with a compound of the formula IV



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  have the meanings indicated in Claim 1,

and L is Cl, Br, I or a free or reactively functionally modified OH group,

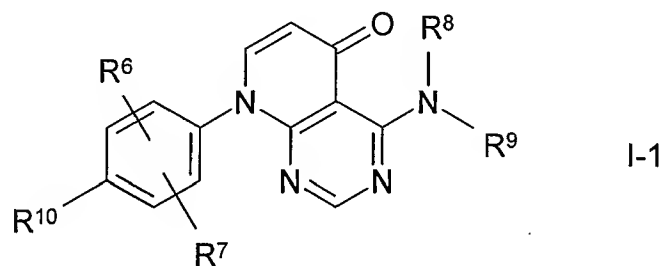
or

a base or acid of the compound or compounds is converted into one of its salts.

11. (Previously presented) A pharmaceutical composition comprising at least one of the compound or compounds according to Claim 1, or pharmaceutically acceptable salts, tautomers, stereoisomers thereof, or mixtures thereof in all ratios, in a pharmaceutical formulation and further optionally comprising excipients or adjuvants.

12-37. (Canceled)

38. (Previously presented) A compound or compounds of formula I-1



wherein

$R^6$ ,  $R^7$  each, independently of one another, are selected from the group consisting of H, A, Hal, OH, OA and CN,

$R^8, R^9$  each, independently of one another, are H or A,

$R^{10}$  is  $NH_2$  or  $NO_2$ ,

A in each case, independently of one another, is alkyl having 1 to 10 C atoms, wherein, in addition, 1-7 H atoms optionally are replaced by F or chlorine,

Hal are selected from the group consisting of F, Cl, Br and I,

or pharmaceutically acceptable salts, tautomers or stereoisomers thereof, or mixtures thereof in all ratios.

39. (Previously presented) The compound or compounds according to Claim 38 wherein

$R^6, R^7$  are H,

$R^8, R^9$  are H,

or pharmaceutically acceptable salts, tautomers and stereoisomers thereof, or mixtures thereof in all ratios.